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=> b reg
FILE 'REGISTRY' ENTERED AT 15:44:27 ON 03 JUL 2007
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STRUCTURE FILE UPDATES:    2 JUL 2007  HIGHEST RN 940883-34-1
DICTIONARY FILE UPDATES:   2 JUL 2007  HIGHEST RN 940883-34-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

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experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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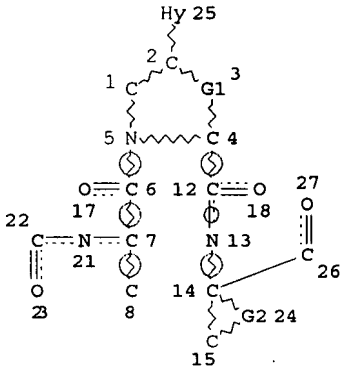


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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E1 C  E4 N  AT  25

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  21

STEREO ATTRIBUTES: NONE
L10         257 SEA FILE=REGISTRY SUB=L3 SSS FUL L8

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SEARCH TIME: 00.00.01


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FILE 'HCAPLUS' ENTERED AT 15:45:47 ON 03 JUL 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 3 Jul 2007 VOL 147 ISS 2
FILE LAST UPDATED: 2 Jul 2007 (20070702/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

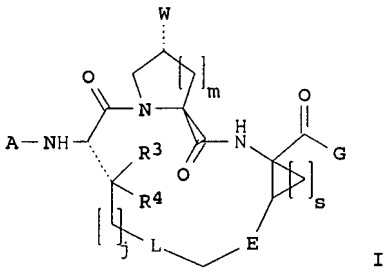
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d bib abs fhitstr l30 tot

L30 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:611823 HCAPLUS
DN 143:153709
TI Synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors
IN Miao, Zhenwei; **Sun, Ying**; **Nakajima, Suanne**; **Tang, Datong**; Wu, Frank; **Xu, Guoyou**; **Or, Yat S.**; Wang, Zhe
PA USA
SO U.S. Pat. Appl. Publ., 229 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI US2005153877 | A1 | 20050714 | 2004US-0774047 | 20040206 <-- |
| PRAI 2003US-509069P | P | 20030213 <-- | | |

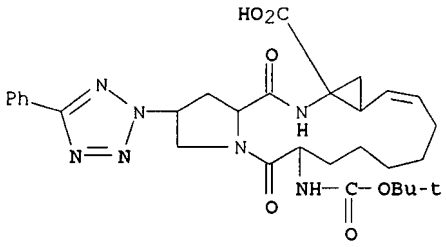
OS MARPAT 143:153709
GI



I

AB The invention relates to cyclic peptides I [A = H, COR2, CO2R1, CONHR2, etc.; G = OH, alkoxy, NHSO2R1, CO2R1, CONHR1, etc.; L = absent, S, SO2, O, COCH2, CF2CH2, etc.; j = 0-4; m, s = 0-2; R1,R2 = H, C1-6-alkyl, (substituted)aryl, heteroaryl, etc.; R3,R4 = H, OH, Me, CN, SH, halo, NO2, NH2, amide, MeO, CF3O, CF3; E = CH:CH, CH2CH2; W = (un)substituted heterocyclic ring], or their pharmaceutically-acceptable salts, esters, or prodrugs, which inhibit serine protease activity, particularly the activity of HCV NS3-NS4A protease. An example is I (A = Me3CO2C, G = OH, L = absent, W = 5-phenyl-1,2,3,4-tetrazol-2-yl, j = 3, m, s = 1; R3, R4 = H), which was prepared via peptide coupling and ring-closing metathesis.
IT **744247-19-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors)
RN 744247-19-6 HCAPLUS

CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 6-[[(1,1-dimethylethoxy)carbonyl]amino]-1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-5,16-dioxo-2-(5-phenyl-2H-tetrazol-2-yl)-, (2R,6S,13aS,14aR,16aS)- (9CI) (CA INDEX NAME)




L30 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:698218 HCAPLUS
DN 141:220883
TI Macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors, their synthesis and use to prevent HCV infection
IN Miao, Zenwei; **Sun, Ying**; Wu, Frank; **Nakajima, Suanne**; **Xu, Guoyou**; **Or, Yat Sun**; Wang, Zhe
PA **Enanta** Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 299 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| | WO2004072243 | A3 | 20051103 | | |
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| | RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US2004180815 | A1 | 20040916 | 2003US-0384120 | 20030307 |
| | AU2004211637 | A1 | 20040826 | 2004AU-0211637 | 20040206 |
| | CA---2515216 | A1 | 20040826 | 2004CA-2515216 | 20040206 |
| | EP---1590442 | A2 | 20051102 | 2004EP-0709020 | 20040206 |
| | EP---1590442 | A3 | 20051221 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| | CN---1771050 | A | 20060510 | CN 2004-80009268 | 20040206 |
| PRAI | 2003US-0360947 | A | 20030207 | | |
| | 2003US-0365854 | A | 20030213 | | |
| | 2003US-0384120 | A | 20030307 | | |
| | 2004WO-US03479 | A | 20040206 | | |

OS MARPAT 141:220883
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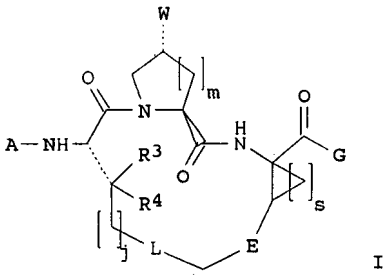


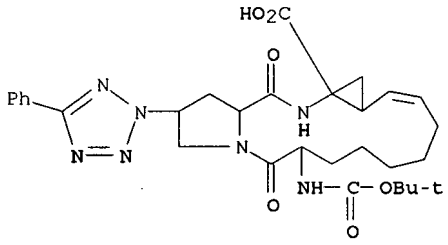
I

AB The present invention relates to compds. I [A = H, COR2, COOR1, CONHR2, etc.; G = OH, COR2, COOR1, CONHR1, etc.; L = S, SO2, O, COCH2, CF2CH2, etc.; j = 0-4; m, s = 0-2; R1,R2 = H, C1-6-alkyl, (substituted)aryl, heteroaryl, etc.; R3,R4 = H, OH, Me, CN, SH, halo, NO2, NH2, amide, MeO, CF3O, CF3; E = CH:CH, CH2CH2; W = (un)substituted heterocyclic ring], or a pharmaceutically acceptable salt, ester, or prodrug thereof, and to methods for their synthesis. The compds. inhibit serine protease activity, particularly the activity of HCV NS3-NS4A protease. Consequently, the compds. of the present invention interfere with the life cycle of HCV and are also useful as antiviral agents. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject suffering from HCV infection. The invention also relates to methods of treating an HCV infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention.

IT **744247-19-6P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors, their synthesis and use to prevent HCV infection)

RN 744247-19-6 HCAPLUS

CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 6-[[(1,1-dimethylethoxy)carbonyl]amino]-1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-5,16-dioxo-2-(5-phenyl-2H-tetrazol-2-yl)-, (2R,6S,13aS,14aR,16aS)- (9CI) (CA INDEX NAME)



=> d bib abs hitstr retable l31 tot

L31 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:13744 HCAPLUS
DN 146:122303
TI Preparation of aryl-containing macrocyclic peptides for the treatment of viral infection
IN Burger, Matthew T.; Bussiere, Dirksen; Murray, Jeremy; Ng, Simon; Ni, Zhi-Jie; Pfister, Keith B.; Wagman, Allan S.; Zhou, Yasheen
PA Chiron Corporation, USA
SO PCT Int. Appl., 140pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO2007001406 | A2 | 20070104 | 2005WO-US35853 | 20051005 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| PRAI | 2004US-616421P | P | 20041005 | | |
| OS | MARPAT 146:122303 | | | | |
| GI | | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

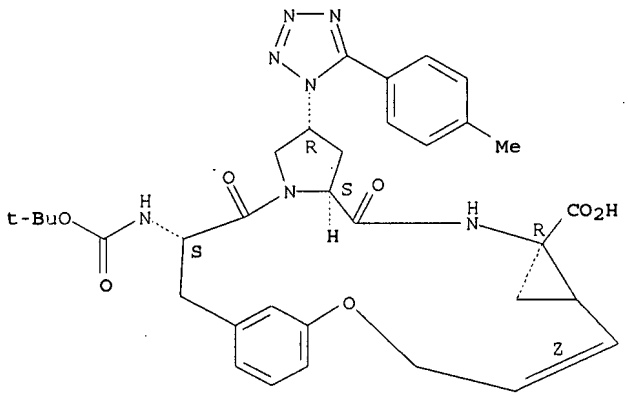
AB The invention discloses novel aryl-containing macrocyclic compds. I [A1 is (CR2R3)1-7 or A1 combined with R13 is cyclopropyl-(CR2R3)1-7; A2 is a bond, O, (CR4R5)1-6, or O(CR4R5)1-6 (R2-R5 are H, OH, F, Cl, Br, iodo, amino, alkyl, cycloalkyl, etc.); Q is (un)substituted aryl or heteroaryl; X is absent, S, SO, SO2, S2, NH, alkylimino, alkylidene, etc.; Z is (CH2)0-4-Y0-2-R10, CHR8-R9-R10, or an amino acid side chain (Y is O or alkylidene; R8 is group given for R2-R5; R9 is a bond, alkylene, cycloalkylene, etc.; R10 is H, aryl, arylalkyl, heteroaryl, etc.); R1 is CO2H or COCO2H or esters or amides; R6 is H CHO, carbamoyl or sulfonyl groups; R7 is H, alkyl, cycloalkyl, alkylamino, etc; R12, R13, R15, R16, R17 are H, alkyl, or haloalkyl] or stereoisomers, tautomers, prodrugs, and pharmaceutically-acceptable salts for inhibition of HCV and SARS viral replication. Thus, (quinolyloxy)prolyl macrocyclic peptide II (Boc = tert-butoxycarbonyl) was prepared via etherification, peptide coupling, and cycloamidation reactions. Some compds. of the invention showed inhibition of HCV < 4 μM (HCV full length NS3 FRET assay).

IT **918654-45-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl-containing macrocyclic peptides for treatment of hepatitis C and SARS)

RN 918654-45-2 HCAPLUS

CN Cyclopropanecarboxylic acid, N-[(1,1-dimethylethoxy)carbonyl]-3-hydroxy-L-phenylalanyl-(4R)-4-[5-(4-methylphenyl)-1H-tetrazol-1-yl]-L-prolyl-1-amino-2-[(1Z)-3-hydroxy-1-propen-1-yl]-, cyclic (1→3)-ether, (1R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 15:46:23 ON 03 JUL 2007
CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitrn fhitstr l33 tot

L33  ANSWER 1 OF 2  USPATFULL on STN
AN      2005:177796  USPATFULL
TI      Macrocyclic hepatitis C serine protease inhibitors
IN      Miao, Zhenwei, San Diego, CA, UNITED STATES
        Sun, Ying, Waltham, MA, UNITED STATES
        Nakajima, Suanne, Cambridge, MA, UNITED STATES
        Tang, Datong, Malden, MA, UNITED STATES
        Wu, Frank, Shrewsbury, MA, UNITED STATES
        Xu, Guoyou, Auburndale, MA, UNITED STATES
        Or, Yat S., Watertown, MA, UNITED STATES
        Wang, Zhe, Hockessin, DE, UNITED STATES
PI      US-20050153877       A1  20050714
AI      2004US-000774047     A1  20040206 (10)
PRAI    2003US-000509069P    20030213 (60)
DT      Utility
FS      APPLICATION
LREP    EDWARDS & ANGELL, LLP, P.O. BOX 55874, BOSTON, MA, 02205, US
CLMN    Number of Claims: 77
ECL     Exemplary Claim: 1
DRWN    No Drawings
LN.CNT 7932
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB      The present invention relates to compounds of Formula I, II or Ill, or a
        pharmaceutically acceptable salt, ester, or prodrug, thereof:
        ##STR1##  wherein W is a substituted or unsubstituted heterocyclic ring
        system. The compounds inhibit serine protease activity, particularly the
        activity of hepatitis c virus (HCV) NS3-NS4A protease. Consequently, the
        compounds of the present invention interfere with the life cycle of the
        hepatitis c virus and are also useful as antiviral agents. The present
        invention further relates to pharmaceutical compositions comprising the
        aforementioned compounds for administration to a subject suffering from
        HCV infection. The invention also relates to methods of treating an HCV
        infection in a subject by administering a pharmaceutical composition
        comprising the compounds of the present invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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(synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors)

IT 858951-22-1P 858951-23-2P 858951-24-3P
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(synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors)

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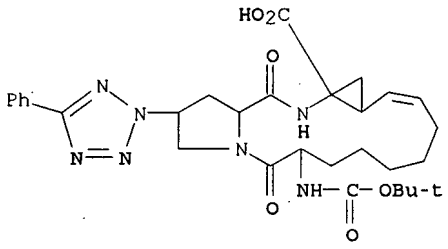
(synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors)

IT 744247-19-6P
(synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors)

RN 744247-19-6 USPATFULL

CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 6-[[(1,1-dimethylethoxy)carbonyl]amino]-1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-5,16-dioxo-2-(5-phenyl-2H-tetrazol-2-yl)-, (2R,6S,13aS,14aR,16aS)- (9CI) (CA INDEX NAME)



L33 ANSWER 2 OF 2 USPATFULL on STN
AN 2004:233741 USPATFULL
TI Pyridazinonyl macrocyclic hepatitis C serine protease inhibitors
IN Nakajima, Suanne, Cambridge, MA, UNITED STATES
Tang, Datong, Malden, MA, UNITED STATES
Wu, Frank, Shrewsbury, MA, UNITED STATES
Miao, Zhenwei, Medway, MA, UNITED STATES
Sun, Ying, Waltham, MA, UNITED STATES
Or, Yat Sun, Watertown, MA, UNITED STATES
Wang, Zhe, Hockessin, DE, UNITED STATES
PI US-20040180815 A1 20040916
AI 2003US-000384120 A1 20030307 (10)
DT Utility
FS APPLICATION
LREP ENANTA PHARMACEUTICALS, INC., ATTN: PATENT DEPT., 500 ARSENAL STREET, WATERTOWN, MA, 02472
CLMN Number of Claims: 16
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2590
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to compounds of Formula I or II, or a pharmaceutically acceptable salt, ester, or prodrug, thereof: ##STR1##

which inhibit serine protease activity, particularly the activity of hepatitis C virus (HCV) NS3-NS4A protease. Consequently, the compounds of the present invention interfere with the life cycle of the hepatitis C virus and are also useful as antiviral agents. The present invention further relates to pharmaceutical compositions comprising the aforementioned compounds for administration to a subject suffering from HCV infection. The invention also relates to methods of treating an HCV infection in a subject by administering a pharmaceutical composition comprising the compounds of the present invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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**744247-26-5P 744247-28-7P 744247-30-1P**
**744247-32-3P 744247-34-5P 744247-36-7P**
**744247-38-9P 744247-40-3P 744247-42-5P**
**744247-44-7P 744247-46-9P 744247-48-1P**
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744248-73-5P 744248-74-6P 744248-75-7P
744249-93-2P 744249-94-3P 744249-95-4P
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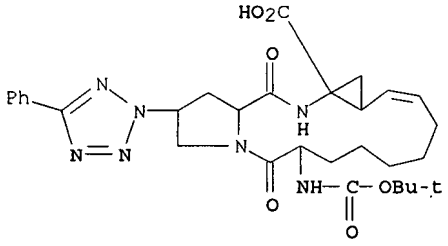
744249-99-8P 744250-00-8P 744250-01-9P
744250-02-0P 744250-03-1P 744250-04-2P
744250-05-3P 744250-06-4P 745013-11-0P
746657-33-0P
(macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors, their synthesis and use to prevent HCV infection)

IT 744251-08-9P 744251-12-5P 744251-15-8P
744251-18-1P 744251-21-6P 744251-25-0P
744251-32-9P 744251-33-0P
(macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors, their synthesis and use to prevent HCV infection)

IT 744247-19-6P
(macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors, their synthesis and use to prevent HCV infection)

RN 744247-19-6 USPATFULL

CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 6-[[(1,1-dimethylethoxy)carbonyl]amino]-1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-5,16-dioxo-2-(5-phenyl-2H-tetrazol-2-yl)-, (2R,6S,13aS,14aR,16aS)- (9CI) (CA INDEX NAME)

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L21           21 E3
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L24           10 E3,E71
                E OR Y/AU
L25          174 E4,E8-10
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L26         4938 E3-37
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L33            2 L10

=> b hcap
FILE 'HCAPLUS' ENTERED AT 15:51:10 ON 03 JUL 2007
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FILE COVERS 1907 - 3 Jul 2007  VOL 147 ISS 2
FILE LAST UPDATED: 2 Jul 2007  (20070702/ED)

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d bib abs hitstr l42 tot

L42  ANSWER 1 OF 2  HCAPLUS  COPYRIGHT 2007 ACS on STN
AN   2005:611823  HCAPLUS
DN   143:153709
TI   Synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3
     inhibitors
IN   Miao, Zhenwei; Sun, Ying; Nakajima, Suanne; Tang,
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Datong; Wu, Frank; Xu, Guoyou; Or, Yat S.; Wang, Zhe
PA USA
SO U.S. Pat. Appl. Publ., 229 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI US2005153877 | A1 | 20050714 | 2004US-0774047 | 20040206 <-- |
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OS MARPAT 143:153709
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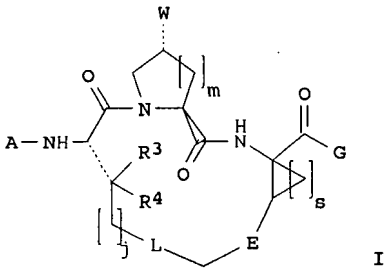
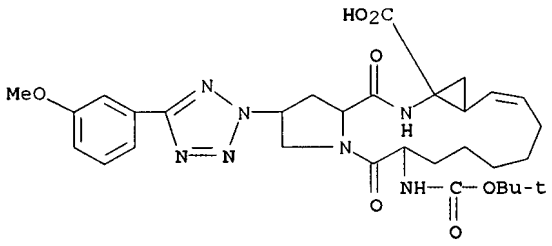


I

AB The invention relates to cyclic peptides I [A = H, COR2, CO2R1, CONHR2, etc.; G = OH, alkoxy, NHSO2R1, CO2R1, CONHR1, etc.; L = absent, S, SO2, O, COCH2, CF2CH2, etc.; j = 0-4; m, s = 0-2; R1,R2 = H, C1-6-alkyl, (substituted)aryl, heteroaryl, etc.; R3,R4 = H, OH, Me, CN, SH, halo, NO2, NH2, amide, MeO, CF3O, CF3; E = CH:CH, CH2CH2; W = (un)substituted heterocyclic ring], or their pharmaceutically-acceptable salts, esters, or prodrugs, which inhibit serine protease activity, particularly the activity of HCV NS3-NS4A protease. An example is I (A = Me3CO2C, G = OH, L = absent, W = 5-phenyl-1,2,3,4-tetrazol-2-yl, j = 3, m, s = 1; R3, R4 = H), which was prepared via peptide coupling and ring-closing metathesis.

IT **744247-74-3P 744248-03-1P 858949-08-3P 858949-20-9P 858950-73-9P 858951-02-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors)

RN 744247-74-3 HCAPLUS
CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 6-[[(1,1-dimethylethoxy)carbonyl]amino]-1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-2-[5-(3-methoxyphenyl)-2H-tetrazol-2-yl]-5,16-dioxo-, (2R,6S,13aS,14aR,16aS)- (9CI) (CA INDEX NAME)



RN 744248-03-1 HCAPLUS
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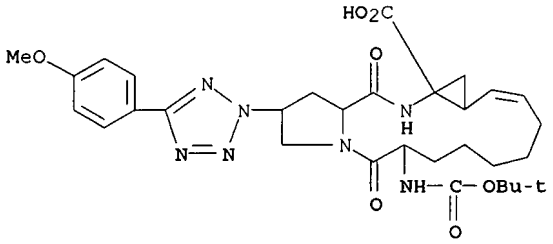
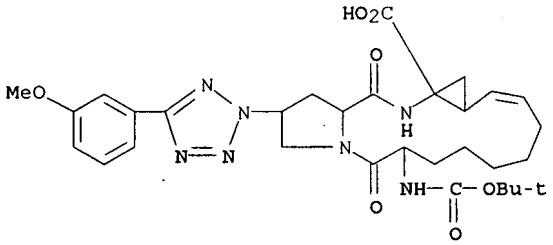
NAME)



RN 858949-08-3 HCAPLUS
CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 6-[[(1,1-dimethylethoxy)carbonyl]amino]-1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-2-[5-(3-methoxyphenyl)-2H-tetrazol-2-yl]-5,16-dioxo-, (2R,6S,16aS)- (9CI) (CA INDEX NAME)



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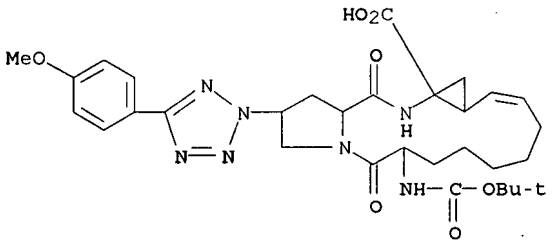

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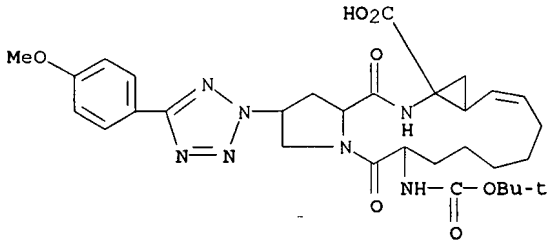

RN 858951-02-7 HCAPLUS
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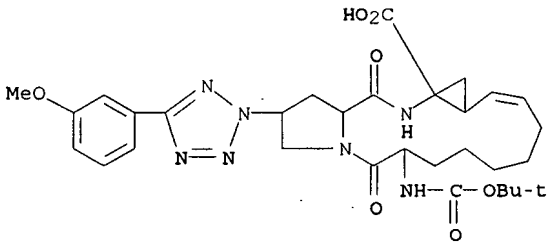

L42 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:698218 HCAPLUS
DN 141:220883
TI Macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors, their synthesis and use to prevent HCV infection
IN Miao, Zenwei; **Sun, Ying**; Wu, Frank; **Nakajima, Suanne**; **Xu, Guoyou**; **Or, Yat Sun**; Wang, Zhe
PA **Enanta** Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 299 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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| | EP---1590442 | A2 | 20051102 | 2004EP-0709020 | 20040206 |
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| | 2003US-0365854 | A | 20030213 | | |
| | 2003US-0384120 | A | 20030307 | | |
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OS MARPAT 141:220883

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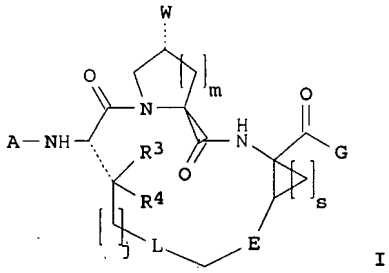


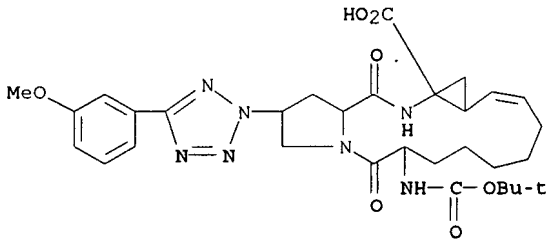
I

AB The present invention relates to compds. I [A = H, COR2, COOR1, CONHR2, etc.; G = OH, COR2, COOR1, CONHR1, etc.; L = S, SO2, O, COCH2, CF2CH2, etc.; j = 0-4; m, s = 0-2; R1,R2 = H, C1-6-alkyl, (substituted)aryl, heteroaryl, etc.; R3,R4 = H, OH, Me, CN, SH, halo, NO2, NH2, amide, MeO, CF3O, CF3; E = CH:CH, CH2CH2; W = (un)substituted heterocyclic ring], or a pharmaceutically acceptable salt, ester, or prodrug thereof, and to methods for their synthesis. The compds. inhibit serine protease activity, particularly the activity of HCV NS3-NS4A protease. Consequently, the compds. of the present invention interfere with the life cycle of HCV and are also useful as antiviral agents. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject suffering from HCV infection. The invention also relates to methods of treating an HCV infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention.

IT **744247-74-3P 744248-03-1P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(macrocyclic hepatitis C virus (HCV) serine protease NS3 inhibitors, their synthesis and use to prevent HCV infection)

RN 744247-74-3 HCAPLUS

CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 6-[[(1,1-dimethylethoxy)carbonyl]amino]-1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-2-[5-(3-methoxyphenyl)-2H-tetrazol-2-yl]-5,16-dioxo-, (2R,6S,13aS,14aR,16aS)- (9CI) (CA INDEX NAME)



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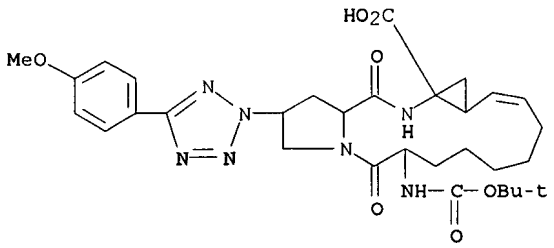

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L42           2 L41 AND L11-28

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# EAST Search History

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| L1 | 100 | (miao zhen zhenwei sun makajima tang wu xu or wang).in. and (macrocyclic or tetraazo$).ti. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/07/03 16:05 |
| L2 | 33 | l1 and hepatitis ADJ c | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/07/03 16:06 |
| L3 | 22 | l2 and serine ADJ protease | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/07/03 16:07 |
| L4 | 11 | l2 not l3 | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2007/07/03 16:07 |